



# ***STIC Search Report***

## ***Biotech-Chem Library***

**STIC Database Tracking Number: 142683**

**TO: Deborah Lambkin**

**Location:**

**Art Unit: 1626**

**January 15, 2005**

**Case Serial Number: 10/603054**

**From: P. Sheppard**

**Location: Remsen Building**

**Phone: (571) 272-2529**

**sheppard@uspto.gov**

### **Search Notes**

## SEARCH REQUEST FORM

## Scientific and Technical Information Center

Requester's Full Name: Deborah Lomish Examiner #: 71300 Date: 1/12/05  
 Art Unit: 1626 Phone Number: 202-0698 Serial Number: 101603,059  
 Mail Box and Bldg/Room Location: Rm 5B07 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

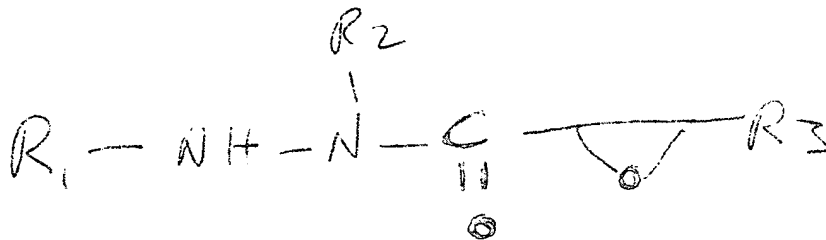
\*\*\*\*\*

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: AZA-peptide epoxides  
 Inventors (please provide full names): James Powers et al

Earliest Priority Filing Date: \_\_\_\_\_

*\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



see cl. 1 attached.

see also examples 1-14 attached

## STAFF USE ONLY

## Type of Search

## Vendors and cost where applicable

Searcher: <u>S. Lomish</u>	NA Sequence (#) _____	STN _____
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) _____	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr. Link _____
Date Completed: <u>1/15/05</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: _____	Other _____	Other (specify) _____

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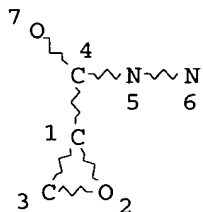
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FILE COVERS 1907 - 15 Jan 2005 VOL 142 ISS 4  
 FILE LAST UPDATED: 14 Jan 2005 (20050114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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 L1 STR



NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 7

STEREO ATTRIBUTES: NONE  
 L3 245 SEA FILE=REGISTRY SSS FUL L1  
 L4 21 SEA FILE=HCAPLUS ABB=ON PLU=ON L3

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=> d ibib abs hitrn l4 1-21

L4 ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:102822 HCAPLUS  
 DOCUMENT NUMBER: 140:304069  
 TITLE: Design, Synthesis, and Evaluation of Aza-Peptide  
 Epoxides as Selective and Potent Inhibitors of

AUTHOR(S): Caspases-1, -3, -6, and -8  
 James, Karen Ellis; Asgian, Juliana L.; Li, Zhao Zhao;  
 Ekici, Oezlem Dogan; Rubin, John R.; Mikolajczyk,  
 Jowita; Salvesen, Guy S.; Powers, James C.  
 CORPORATE SOURCE: School of Chemistry and Biochemistry, Parker H. Petit  
 Institute for Bioengineering and Bioscience, Georgia  
 Institute of Technology, Atlanta, GA, 30332-0400, USA  
 SOURCE: Journal of Medicinal Chemistry (2004), 47(6),  
 1553-1574  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

- AB Aza-peptide epoxides, a novel class of irreversible protease inhibitors,  
 are specific for the clan CD cysteine proteases. Aza-peptide epoxides  
 with an aza-Asp residue at P1 are excellent irreversible inhibitors of  
 caspases-1, -3, -6, and -8 with second-order inhibition rates up to 1 910  
 000 M<sup>-1</sup> s<sup>-1</sup>. In general, the order of reactivity of aza-peptide epoxides  
 is S,S > R,R > trans > cis. Interestingly, some of the R,R epoxides while  
 being less potent are actually more selective than the S,S epoxides.  
 Here, the aza-peptide epoxides designed for caspases are stable, potent,  
 and specific inhibitors, as they show little to no inhibition of other  
 proteases such as the aspartyl proteases porcine pepsin, human cathepsin  
 D, plasmepsin 2 (from *P. falciparum*), HIV-1 protease, and the secreted  
 aspartic proteinase 2 (SAP-2) from *Candida albicans*, the serine proteases  
 granzyme B and  $\alpha$ -chymotrypsin, and the cysteine proteases cathepsin  
 B and papain (clan CA), and legumain (clan CD).  
 IT 646532-53-8P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
 preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant  
 or reagent)  
 (preparation and evaluation of aza-peptide epoxides as selective and potent  
 inhibitors of caspases)  
 IT 477923-51-6P 477923-55-0P 646531-28-4P  
 646531-29-5P 646531-50-2P 646531-60-4P  
 646531-61-5P 646531-62-6P 646531-63-7P  
 646531-64-8P 646531-65-9P 646531-66-0P  
 646531-67-1P 646531-68-2P 646531-69-3P  
 646531-70-6P 646531-71-7P 646531-72-8P  
 646531-73-9P 646531-74-0P 646531-75-1P  
 646531-77-3P 646531-78-4P 646531-79-5P  
 646531-80-8P 646531-81-9P 646531-82-0P  
 646531-83-1P 646531-84-2P 646531-85-3P  
 646531-86-4P 646531-87-5P 646531-88-6P  
 646531-89-7P 646531-90-0P 646532-38-9P  
 646532-39-0P 646532-40-3P 646532-41-4P  
 646532-54-9P 646532-55-0P 646532-56-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL  
 (Biological study); PREP (Preparation)  
 (preparation and evaluation of aza-peptide epoxides as selective and potent  
 inhibitors of caspases)  
 IT 646531-03-5P 646531-04-6P 646531-05-7P  
 646531-06-8P 646531-07-9P 646531-92-2P  
 646532-00-5P 646532-01-6P 646532-02-7P  
 646532-03-8P 646532-04-9P 646532-05-0P  
 646532-06-1P 646532-07-2P 646532-08-3P  
 646532-09-4P 646532-10-7P 646532-11-8P  
 646532-12-9P 646532-13-0P 646532-14-1P  
 646532-15-2P 646532-16-3P 646532-17-4P  
 646532-18-5P 646532-20-9P 646532-21-0P  
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 646532-31-2P 646532-32-3P 646532-33-4P  
 646532-34-5P 646532-35-6P 646532-36-7P  
 646532-37-8P 676644-72-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation and evaluation of aza-peptide epoxides as selective and potent  
 inhibitors of caspases)

IT 646531-76-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and evaluation of aza-peptide epoxides as selective and potent  
 inhibitors of caspases)

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:41455 HCAPLUS

DOCUMENT NUMBER: 140:111688

TITLE: Preparation of aza-peptide epoxides as protease  
 inhibitors

INVENTOR(S): Powers, James C.; Asgian, Juliana L.; James, Karen E.;  
 Li, Zhao-Zhao

PATENT ASSIGNEE(S): Georgia Tech Research Corporation, USA

SOURCE: PCT Int. Appl., 179 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

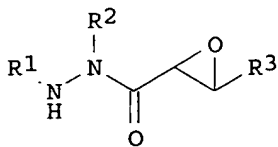
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005270	A1	20040115	WO 2003-US20290	20030626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,				
TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,				
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004048327	A1	20040311	US 2003-603054	20030624
PRIORITY APPLN. INFO.:			US 2002-394023P	P 20020705
			US 2002-394024P	P 20020705
			US 2002-394221P	P 20020705
			US 2003-603054	A 20030624

OTHER SOURCE(S): MARPAT 140:111688  
 GI



I

AB The invention discloses aza-peptide epoxides I [R1 is M1, M2-AA1, M2-AA2-AA1, or M2-AA3-AA2-AA1, where M1 is NH<sub>2</sub>CO, NH<sub>2</sub>CS, NH<sub>2</sub>SO<sub>2</sub>, etc.; M2 is H, NH<sub>2</sub>CO, NH<sub>2</sub>CS, NH<sub>2</sub>SO<sub>2</sub>, etc.; AA1, AA2, and AA3 are side chain-blocked or unblocked amino acids with the L- or D-configuration or no chirality; R2 is (un)substituted alkyl, Ph, or naphthyl; R3 is (un)substituted (cyclo)alkyl, CO<sub>2</sub>H or esters, carboxamido groups, including amino acid derivs.] and their pharmaceutically-acceptable salts that inhibit protease, e.g., cysteine proteases, and can be used to treat viral infections, stroke, neurodegenerative disease, inflammatory disease, etc. Thus, trans-3-[N2-[N-(tert-butoxycarbonyl)norvalyl]-N1-phenethylhydrazinocarbonyl]-2-oxiranecarboxylic acid Et ester was prepared by amidation of Et trans-epoxysuccinate with Boc-Nva-NHNHCH<sub>2</sub>CH<sub>2</sub>Ph and showed inhibition constant k<sub>obs</sub>/[I] (M-ls-l) = 1.4 and 2.7 for inhibition of papain and cathepsin B, resp.

IT 646531-21-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of aza-peptide epoxides as protease inhibitors)

IT 477923-51-6P 477923-55-0P 477923-59-4P  
477923-63-0P 477923-67-4P 477923-71-0P  
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 646532-64-1P 646532-65-2P 646532-66-3P  
 646532-67-4P 646532-68-5P 646532-69-6P  
 646533-05-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of aza-peptide epoxides as protease inhibitors)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:10077 HCAPLUS

DOCUMENT NUMBER: 140:316968

TITLE: Aza-peptide epoxides: potent and selective inhibitors  
 of Schistosoma mansoni and pig kidney legumains  
 (asparaginyl endopeptidases)

AUTHOR(S): James, Karen Ellis; Goetz, Marion G.; Caffrey, Conor  
 R.; Hansell, Elizabeth; Carter, Wendy; Barrett, Alan  
 J.; McKerrow, James H.; Powers, James C.

CORPORATE SOURCE: School of Chemistry and Biochemistry, Georgia  
 Institute of Technology, Atlanta, GA, 30332-0400, USA

SOURCE: Biological Chemistry (2003), 384(12), 1613-1618  
 CODEN: BICHF3; ISSN: 1431-6730

PUBLISHER: Walter de Gruyter GmbH & Co. KG

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Aza-peptide epoxides are a new class of irreversible cysteine protease  
 inhibitors. Derivs. containing a P1 aza-asparagine residue are specific for  
 Schistosoma mansoni and pig kidney legumains, which are clan CD cysteine  
 proteases. The inhibitors have second-order rate consts. of up to 104  
 M-1S-1 with pig kidney legumain and IC50 values as low as 45 nM with S.  
 mansoni legumain. The most potent epoxides contain an ester moiety with  
 S,S stereochem. attached to the epoxide. Interestingly, amide and amino  
 acid derivs. of the epoxysuccinate moiety were not inhibitors of legumain,  
 while disubstituted amide derivs. are quite potent. The inhibitors have  
 little or no inhibitory activity with other proteases such as caspases,  
 chymotrypsin, papain, cathepsin B, granzyme B, and various aspartyl  
 proteases.

IT 477923-59-4 477923-63-0 478038-74-3  
 646531-18-2 646531-51-3 646531-52-4  
 646531-53-5 646531-54-6 646531-55-7  
 646531-56-8 646531-57-9 646531-58-0  
 646531-59-1

RL: PAC (Pharmacological activity); BIOL (Biological study)  
 (aza-peptide epoxides as potent and selective inhibitors of Schistosoma  
 mansoni and pig kidney legumains (asparaginyl endopeptidases))

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:511091 HCAPLUS

DOCUMENT NUMBER: 139:85335

TITLE: Preparation of fused heterocyclic compounds and  
analogs thereof as modulators of nuclear hormone  
receptor functionINVENTOR(S): Salvati, Mark E.; Balog, James Aaron; Pickering, Dacia  
A.; Zhu, Hong

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 147 pp.

CODEN: PIXXD2

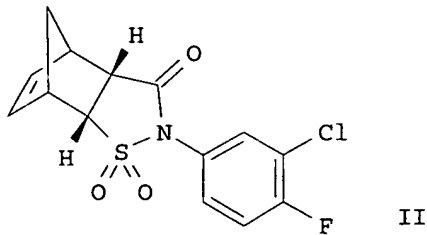
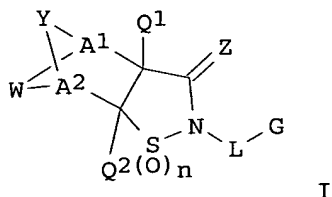
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053358	A2	20030703	WO 2002-US40737	20021218
WO 2003053358	A3	20031002		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003181728	A1	20030925	US 2002-322276	20021218
EP 1467979	A2	20041020	EP 2002-798550	20021218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.:			US 2001-341962P	P 20011219
			WO 2002-US40737	W 20021218
OTHER SOURCE(S):		MARPAT 139:85335		
GI				





AB Title compds. I [Z = O; n = 1-2; A1-2 = CR7; Y = J-J'-J''; J = alkyl; J' = bond, O, S, SO, etc.; J'' = alkyl; W = alkyl, alkenyl, etc.; Q1-2 = H, alkyl, alkenyl, cycloalkyl, etc; L = bond; G = aryl, heterocyclo] are prepared and methods of using such compds. in the treatment of nuclear hormone receptor-associated conditions. Thus, II is prepared by Diels-Alder reaction of cyclopentadiene with 2-(3-chloro-4-fluorophenyl)-1,1-dioxo-1,2-dihydroisothiazol-3-one (preparation given). As modulators of nuclear hormone receptor function, the use of I as potential anticancer agents and for treatment of immune disorders is claimed (no data).

IT 554412-98-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of fused thiazolone compds. and analogs thereof as modulators of nuclear hormone receptor function)

L4 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:789695 HCAPLUS

DOCUMENT NUMBER: 138:19120

TITLE: Aza-Peptide Epoxides: A New Class of Inhibitors Selective for Clan CD Cysteine Proteases

AUTHOR(S): Asgian, Juliana L.; James, Karen Ellis; Li, Zhao Zhao; Carter, Wendy; Barrett, Alan J.; Mikolajczyk, Jowita; Salvesen, Guy S.; Powers, James C.

CORPORATE SOURCE: School of Chemistry and Biochemistry, Georgia Institute of Technology, Atlanta, GA, 30332-0400, USA

SOURCE: Journal of Medicinal Chemistry (2002), 45(23), 4958-4960

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Aza-peptide epoxides, a new class of irreversible protease inhibitors, are specific for the clan CD cysteine proteases. The inhibitors have second-order rate consts.  $\leq 105 \text{ M}^{-1} \text{ s}^{-1}$ , with the most potent epoxides having the S,S stereochem. The aza-Asn derivs. are effective legumain inhibitors, while the aza-Asp epoxides were specific for caspases. The inhibitors have little or no inhibition with other proteases such as chymotrypsin, papain, or cathepsin B.

IT 477923-43-6 477923-47-0 477923-51-6

477923-55-0 477923-59-4 477923-63-0

477923-67-4 477923-71-0 478038-74-3

478038-75-4

RL: PAC (Pharmacological activity); BIOL (Biological study)

(aza-peptide epoxides as new class of inhibitors selective for clan CD cysteine proteases)

IT 477933-40-7 477933-41-8

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(aza-peptide epoxides as new class of inhibitors selective for clan CD cysteine proteases)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:581860 HCAPLUS

DOCUMENT NUMBER: 135:152811

TITLE: Process for preparing 1,3,4-oxadiazole derivatives as intermediates for elastase inhibitors

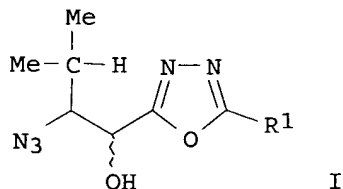
INVENTOR(S): Sugiura, Tsuneyuki; Miyazaki, Toru; Horiuchi, Toshihide

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057005	A1	20010809	WO 2001-JP742	20010202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 2001030570 A5 20010814 AU 2001-30570 20010202 EP 1253143 A1 20021030 EP 2001-902738 20010202 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR PRIORITY APPLN. INFO.: JP 2000-26718 A 20000203 WO 2001-JP742 W 20010202 OTHER SOURCE(S): CASREACT 135:152811; MARPAT 135:152811 GI				



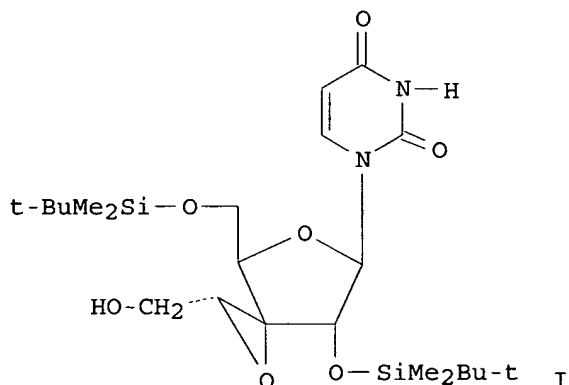
AB The title compds. I [R1 = Ph, etc.] are prepared by subjecting N3CH(CHMe2)CH(OH)CONHNHCOR1 [R1 = as given above] to the following reaction: protection of OH, cyclization, and deprotection for OH. I are then hydrogenated to the corresponding amine derivs. Thus, a mixture of N-(3-azido-2-hydroxy-4-methyl)pentanoyl-N'-(2,2-dimethylpropionyl)hydrazine, trimethylsilyl chloride, and pyridine in tert-Bu Me ether was stirred at room temperature for 30 min; the reaction mixture was then cooled, and thionyl chloride was added to the reaction mixture; the resulting mixture was stirred with cooling for 30 min; magnesium sulfate was added to the reaction mixture, and the mixture was stirred at room temperature for 30 min; the reaction mixture was filtered, and the filtrate was concentrated; the resulting residue was dissolved in toluene, and the solution was refluxed for 20 min. The reaction mixture was cooled to room temperature; methanol and potassium fluoride were added to said mixture; the resulting mixture was stirred for 30 min and concentrated; the residue was dissolved in tert-Bu Me ether; the resulting solution was worked up to give 1-(5-tert-butyl-1,3,4-oxadiazol-2-yl)-3-methyl-2-azidobutanol which was subjected to hydrogenation to give 1-(5-tert-butyl-1,3,4-oxadiazol-2-yl)-3-methyl-2-aminobutanol.

IT 353237-74-8P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (process for preparing oxadiazole derivs. as intermediates for elastase inhibitors)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2000:213878 HCAPLUS  
 DOCUMENT NUMBER: 133:17731  
 TITLE: Highly stereoselective synthesis and biological properties of nucleoside analogues bearing a spiro inserted oxirane ring  
 AUTHOR(S): Tronchet, Jean M. J.; Kovacs, Imre; Seman, Michel; Dilda, Pierre; De Clercq, Erik; Balzarini, Jan  
 CORPORATE SOURCE: Department of Organic Pharmaceutical Chemistry, University of Geneva, Sciences II, Geneva, CH-1211/4, Switz.  
 SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2000), 19(4), 775-794  
 CODEN: NNNAFY; ISSN: 1525-7770  
 PUBLISHER: Marcel Dekker, Inc.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 133:17731  
 GI



AB Starting from 2',5'-di-O-TBDMS-3'-ketouridine or its thymine analog, both xylo and ribo epimers of a series of 3"-substituted 3'-spiro-nucleosides, e.g. I, have been obtained in good yields and with a total stereoselectivity. Most new compds. were moderately cytotoxic with in some cases slightly selective antiproliferative activities. None of these compds. was active against HIV, but some other antiviral activities against HSV-2, CMV, EBV, or VZV, in the micromolar range, were noted in specific cases.

IT 272780-84-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
 (highly stereoselective synthesis and biol. properties of nucleoside analogs bearing a spiro inserted oxirane ring)

IT 272780-85-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (highly stereoselective synthesis and biol. properties of nucleoside

analog bearing a spiro inserted oxirane ring)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:550659 HCAPLUS

DOCUMENT NUMBER: 129:260379

TITLE: Synthesis of optically active 4-hydroxypyrazolidin-3-ones as precursors for  $\beta$ -amino  $\alpha$ -hydroxy carboxylic acid derivatives

AUTHOR(S): Woydowski, Karsten; Liebscher, Juergen

CORPORATE SOURCE: Inst. Chem., Humboldt-Univ., Berlin, D-10115, Germany

SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung (1998), 340(6), 567-571

CODEN: JPCCEM; ISSN: 0941-1216

PUBLISHER: Johann Ambrosius Barth

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:260379

AB Cis- and trans-glycidic esters give ring transformations with hydrazines to afford optically active 4-hydroxypyrazolidin-3-ones with different regioselectivities. Subsequent hydrogenation in the presence of Raney-Ni leads to enantiomerically pure  $\beta$ -amino  $\alpha$ -hydroxy carboxamides.

IT 213621-79-5P 790662-80-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of hydroxypyrazolidinones and reductive cleavage to  $\beta$ -amino  $\alpha$ -hydroxy carboxamides)

L4 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:265567 HCAPLUS

DOCUMENT NUMBER: 125:33462

TITLE: Oxiranes with quinoline substitution: stereoselective synthesis and antiviral activity

AUTHOR(S): Kidwai, M.; Kumar, Kaushlendra; Goel, Yogesh; Srivastava, K. C.

CORPORATE SOURCE: Dep. Chem., Univ. Delhi, Delhi, 110 007, India

SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(7), 871-4

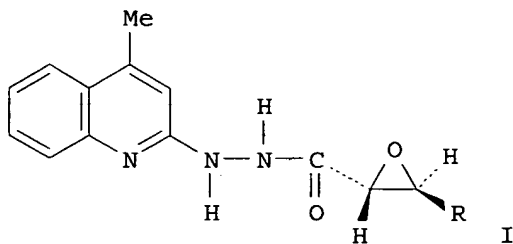
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB A series of new quinoline substituted oxiranes were prepared from chloroacetic acid 2-(2-quinolinyl)hydrazide and aromatic aldehydes. The target compds. were I (R = Ph, pyridinyl, etc.). I were tested against encephalomyocarditis virus (EMCV) and only two compds. exhibited protection against the virus.

IT 177612-02-1P 177612-04-3P 177612-06-5P  
177612-07-6P 177612-09-8P 177612-10-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and virucidal activity of oxiranecarboxylic (quinolinyl)hydrazides)

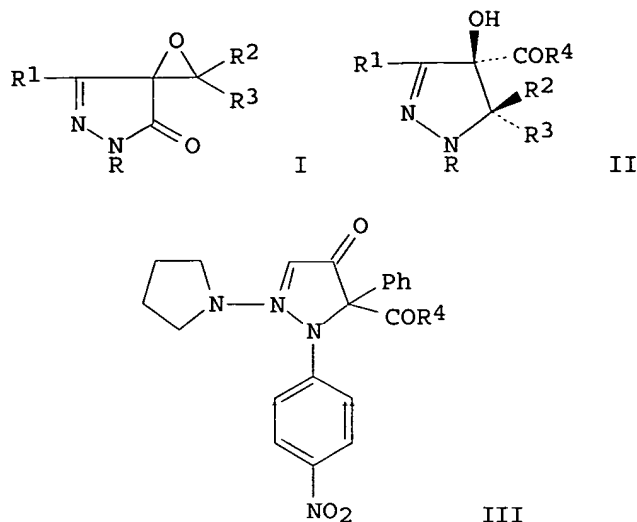
IT 177612-03-2P 177612-05-4P 177612-08-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and virucidal activity of oxiranecarboxylic (quinolinyl)hydrazides)

L4 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1996:97020 HCAPLUS  
DOCUMENT NUMBER: 124:232084  
TITLE: Synthetic studies of novel 5-azacarbapenems  
AUTHOR(S): Oda, Kuniyuki; Nakano, Takao; Morimoto, Hiroshi; Takamura, Norio  
CORPORATE SOURCE: Org. Chem. Res. Lab., Tanabe Seiyaku Co., Ltd., Saitama, 335, Japan  
SOURCE: Heterocycles (1996), 42(2), 577-88  
CODEN: HTCYAM; ISSN: 0385-5414  
PUBLISHER: Japan Institute of Heterocyclic Chemistry  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB 1,2-Diazetidinones were prepared from (2R,3R)-epoxybutanoic acid via acidic one-pot deprotection-cyclization reaction and converted to the novel 5-azacarbapenams by an intramol. Michael cyclization reaction.

IT 174787-19-0P 174787-20-3P 174787-21-4P  
174787-24-7P 174787-25-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of diazetidinones and azacarbapenams)

L4 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1994:323366 HCAPLUS  
DOCUMENT NUMBER: 120:323366  
TITLE: ring transformations of 1-oxa-5,6-diazaspiro[2.4]hept-6-en-4-ones into 4,5-dihydro-4-hydroxy-1H-pyrazole-4-carboxylic acid derivatives  
AUTHOR(S): Kirschke, Klaus; Huebner, Petra; Lutze, Gerhard; Gruendemann, Egon; Ramm, Matthias  
CORPORATE SOURCE: Zent. Selektive Org. Synth., Berlin-Adlershof, D-12484, Germany  
SOURCE: Liebigs Annalen der Chemie (1994), (2), 159-65  
CODEN: LACHDL; ISSN: 0170-2041  
DOCUMENT TYPE: Journal  
LANGUAGE: German  
OTHER SOURCE(S): CASREACT 120:323366  
GI



AB Spiro epoxides I [R = Ph, 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>; R<sub>1</sub> = OEt, pyrrolidino, Me; R<sub>2</sub> = Ph, R<sub>3</sub> = H; R<sub>2</sub> = R<sub>3</sub> = Me] were synthesized from 1H-pyrazol-5(4H)-ones by Knoevenagel condensation with R<sub>2</sub>R<sub>3</sub>CO and subsequent epoxidn. with hydrogen peroxide. I react with nucleophiles to give 4,5-dihydro-4-hydroxy-1H-pyrazole-4-carboxylic acid derivs. II [R<sub>4</sub> = NH<sub>2</sub>, OMe, OCHMeEt, NHNH<sub>2</sub>]. In three cases the intermediate ring-opened hydrazone was isolated. On dehydrogenation with chloranil II [R = 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = pyrrolidino, R<sub>2</sub> = H, R<sub>3</sub> = Ph, R<sub>4</sub> = OMe, OCHMeEt] undergo rearrangement to 4,5-dihydro-4-oxo-1H-pyrazole-5-carboxylic acid derivs. III.

IT **154926-12-2P 154926-13-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and cyclization of)

IT **154926-11-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

L4 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:634333 HCAPLUS

DOCUMENT NUMBER: 117:234333

TITLE: Synthesis of 17 $\alpha$ -hydroxy-20-oxopregnanes from 17(20)-dehydro-23,24-dinorcholan-22-oic acids

AUTHOR(S): Toro, Andras; Ambrus, Gabor

CORPORATE SOURCE: Inst. Drug Res., Budapest, H-1325, Hung.

SOURCE: Tetrahedron Letters (1992), 33(36), 5265-6

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:234333

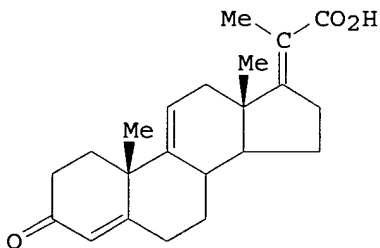
AB Title transformation involving catalytic epoxidn., azidation, Curtius rearrangement and acidic hydrolysis has been accomplished. This synthetic sequence offers a novel route from a partial microbial side chain degradation product of natural sterols into useful precursors of antiinflammatory, antiandrogen and gestagen pharmaceuticals.

IT **144490-19-7P**

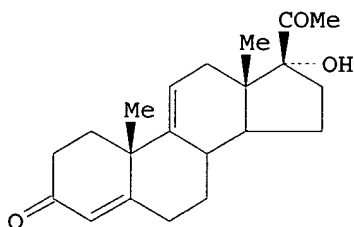
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acid hydrolysis of)

L4 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1992:235964 HCAPLUS  
 DOCUMENT NUMBER: 116:235964  
 TITLE: Process for producing 17 $\alpha$ -hydroxy-20-oxopregnane steroid derivatives  
 INVENTOR(S): Toro, Andras; Ambrus, Gabor; Pallagi, Istvan; Makk, Nandor; Horvath, Gyula; Szederkenyi, Ferenc; Ilkoy, Eva; Jekkel, Antale, Mrs.; Moravcsik, Imre; Konczol, Kalman  
 PATENT ASSIGNEE(S): Gyogyszerkutato Intezet, Hung.  
 SOURCE: Hung. Teljes, 17 pp.  
 CODEN: HUXXB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Hungarian  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 58105	A2	19920128	HU 1990-3896	19900619
HU 208022	B	19930728		
CA 2044973	AA	19911220	CA 1991-2044973	19910619
EP 469275	A2	19920205	EP 1991-110026	19910619
EP 469275	A3	19920325		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CN 1058970	A	19920226	CN 1991-105033	19910619
CN 1030919	B	19960207		
US 5241063	A	19930831	US 1991-717823	19910619
SK 278135	B6	19960207	SK 1991-1880	19910619
JP 09118687	A2	19970506	JP 1991-173444	19910619
PRIORITY APPLN. INFO.:			HU 1990-3896	A 19900619
OTHER SOURCE(S):	CASREACT 116:235964			
GI				



I



II

AB Stereoselective epoxidn. of dehydrodinorcholanoic acids (e.g., I) with H<sub>2</sub>O<sub>2</sub> in an N-containing organic solvent in the presence of catalytic ammonium paramolybdate or sodium tungstate afforded the 17 $\alpha$ ,20 $\alpha$  epoxy acid derivative; conversion of the latter to acid azide, followed by warming in acidic alc. media, resulted in rearrangement to the corresponding hydroxyoxopregnane (e.g., II). Thus, to 10.22 g cholatrienoic acid I in 150 mL pyridine were added 10 mL 20% aqueous sodium tungstate and subsequently 10 mL 30% H<sub>2</sub>O<sub>2</sub> at 60°; workup afforded 97% 17 $\alpha$ ,20 $\alpha$  epoxide (III). To 5.36 g III and 2.1 mL Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> were added 1.95 mL iso-Bu chloroformate (anhydride formation), and subsequently 1.46 g NaN<sub>3</sub> in 15 mL H<sub>2</sub>O; workup afforded 95% acid azide (IV). A solution consisting of 3.81 g IV in 150 mL EtOH and 100 mL 50% aqueous AcOH was maintained at boiling for 3 h; workup afforded 97% II.

IT 140700-46-5P

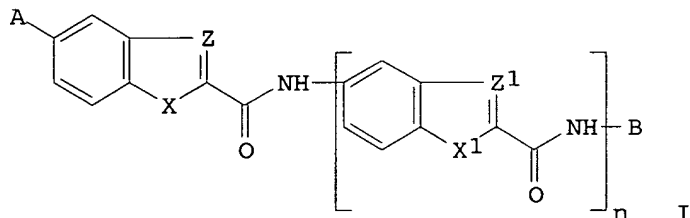
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)  
(preparation and rearrangement of)

L4 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1992:6408 HCAPLUS  
 DOCUMENT NUMBER: 116:6408  
 TITLE: Preparation of aminoindolecarboxamide derivatives as  
 neoplasm inhibitors  
 INVENTOR(S): Mongelli, Nicola; D'Alessio, Roberto; Grandi, Maria;  
 Spreafico, Federico  
 PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.r.l., Italy  
 SOURCE: Ger. Offen., 9 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4106860	A1	19910919	DE 1991-4106860	19910304
GB 2241950	A1	19910918	GB 1990-5529	19900312
GB 2241950	B2	19930512		
JP 05148227	A2	19930615	JP 1991-67875	19910307
PRIORITY APPLN. INFO.:			GB 1990-5529	A 19900312
OTHER SOURCE(S):	MARPAT	116:6408		

GI



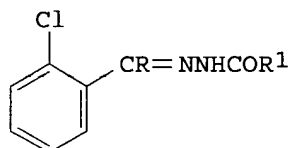
AB Title compds. [I; A = 14, NHCOR1, NR2R3; R1 = 2-haloacryloyl, (substituted) oxiranyl; R2, R3 = H, halo- or R4O2SO-substituted alkyl; R4 = alkyl, Ph; B = H, (CH2)mNHCOR1; m = 0-3; Z, Z1 = CH, CH:CH; X = N, O, S; n = 0, 1], were prepared. Thus, a solution of H2C:CBrcO2H and Et3N in THF at -10° was treated with Me3CCOCl; Et3N.HCl was filtered off and the soln was added to a DMF solution of 5-(benzofuran-2-carboxamido)indol-2-carbohydrazide to give 2'-(α-bromoacryloyl)-5-(benzofuran-2-carboxamido)indol-2-carbohydrazide (II). II had IC50 of 0.188 µg/mL against <1210 leukemia. An injection containing II was prepared

IT 137855-52-8P 137855-53-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as neoplasm inhibitor)

L4 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1991:206680 HCAPLUS  
 DOCUMENT NUMBER: 114:206680  
 TITLE: Antihypertensive hydrazidones: study of acylated  
 2-chlorobenzylidenehydrazines



AUTHOR(S): Galons, H.; Cave, C.; Miocque, M.; Rinjard, P.; Tran, G.; Binet, P.  
 CORPORATE SOURCE: Lab. Chim. Org., Fac. Pharm., Chatenay-Malabry, F 92290, Fr.  
 SOURCE: European Journal of Medicinal Chemistry (1990), 25(9), 785-8  
 CODEN: EJMCA5; ISSN: 0223-5234  
 DOCUMENT TYPE: Journal  
 LANGUAGE: French  
 OTHER SOURCE(S): CASREACT 114:206680  
 GI



AB Fifty-five hydrazones I (R = H, Me, Et, Bu, CH<sub>2</sub>OH; R<sub>1</sub> = CMe<sub>2</sub>OH, 3,4,5-trimethoxyphenyl, CONH<sub>2</sub>, 3-pyridyl, etc.) were prepared from the carbonyl compds. and the acylhydrazines. Antihypertensive min. dosage for I in rats are tabulated.

IT **5814-13-1**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation of, with carbonyl compound)

IT **133662-11-0P 133662-12-1P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and antihypertensive activity of)

L4 ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1984:406947 HCAPLUS

DOCUMENT NUMBER: 101:6947

TITLE: Synthesis of  $\alpha,\beta$ -epoxyacyl azides and their rearrangement to epoxy isocyanates and 3- and 4-oxazolin-2-ones

AUTHOR(S): Lemmens, Jacques M.; Blommerde, Willem W. J. M.; Thijs, Lambertus; Zwanenburg, Binne

CORPORATE SOURCE: Dep. Org. Chem., Univ. Nijmegen, Nijmegen, 6525 ED, Neth.

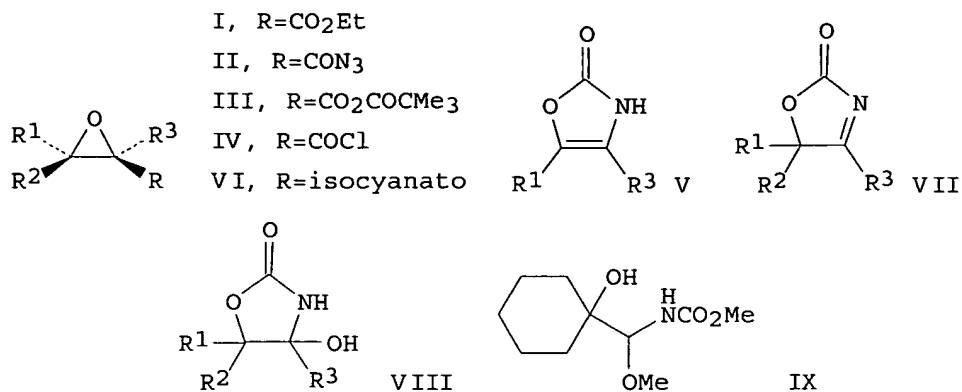
SOURCE: Journal of Organic Chemistry (1984), 49(12), 2231-5  
 CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 101:6947

GI



AB The conversion of  $\alpha,\beta$ -epoxy carboxylates I [R1 = Ph, 4-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, 4-MeC<sub>6</sub>H<sub>4</sub>, Me; R2 = H, Ph, Me; R3 = H, Ph, Me, 4-MeC<sub>6</sub>H<sub>4</sub>, 4-MeOC<sub>6</sub>H<sub>4</sub>; R1R3 = (CH<sub>2</sub>)<sub>5</sub>, R2 = H; R1CR2 = adamantane moiety, R3 = H; R1R2 = (CH<sub>2</sub>)<sub>5</sub>, (CH<sub>2</sub>)<sub>4</sub>, R3 = Me, H] into  $\alpha,\beta$ -epoxyacyl azides II proceeds either via reaction of the mixed anhydrides III with NaN<sub>3</sub> or via reaction of epoxyacyl chlorides IV with HN<sub>3</sub>--pyridine. The latter method is preferred. The azides II undergo a smooth thermal Curtius rearrangement to give 4-oxazolin-2-ones V for the substrates II (R2 = H) having a H atom at C $\beta$ . Monitoring this reaction by means of IR shows that the epoxy isocyanates VI are intermediates. Intramol. ring expansion of VI then leads to 3-oxazolin-2-ones VII that tautomerize to the 4-isomers V. Epoxyacyl azides II, having no H atom at C $\beta$ , produce 3-oxazolin-2-ones VII as a proton shift is not possible. The products VII [R1CR2 = adamantane moiety, R3 = H; R1R2 = (CH<sub>2</sub>)<sub>5</sub>, R3 = Me] rapidly add water at the imine bond to give oxazolidin-2-ones VIII. Epoxy isocyanate VI [R1R2 = (CH<sub>2</sub>)<sub>5</sub>, R3 = H] is reasonably stable in solution; reaction with MeOH affords urethane IX.

IT 89848-92-0P 89848-93-1P 89848-94-2P  
 89848-95-3P 89848-96-4P 89848-97-5P  
 89848-98-6P 89848-99-7P 89849-00-3P  
 89849-02-5P 89849-05-8P 89849-06-9P  
 89849-07-0P 89849-08-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and thermal rearrangement of, isocyanate by)

IT 89849-01-4P 89849-03-6P 89849-04-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

L4 ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:140001 HCAPLUS

DOCUMENT NUMBER: 82:140001

TITLE: Reaction of hydrazines with cis- and trans-epoxy esters

AUTHOR(S): Sabate-Alduy, Catherine; Bastide, Jean; Bercot, Pierre; Lematre, Jean

CORPORATE SOURCE: Lab. Synth. Org., Centre Univ. Perpignan, Perpignan, Fr.

SOURCE: Bulletin de la Societe Chimique de France (1974), (9-10, Pt. 2), 1942-8

CODEN: BSCFAS; ISSN: 0037-8968

DOCUMENT TYPE: Journal

LANGUAGE: French

GI For diagram(s), see printed CA Issue.

AB Reaction of the epoxides cis-I (R = H, NO<sub>2</sub>; R<sub>1</sub> = OEt) and trans-I (R = H, NO<sub>2</sub>, OMe, Cl; R<sub>1</sub> = OEt) with NH<sub>2</sub>NH<sub>2</sub> gave the hydrazides I (R<sub>1</sub> = NHNH<sub>2</sub>), which was cyclized to the pyrazolidinones II (R<sub>2</sub> = H) in boiling EtOH. I and MeNHNH<sub>2</sub> or PhNHNH<sub>2</sub> gave II (R<sub>2</sub> = Me, Ph) directly. The stereochem. of I was preserved in II. Kinetics and mechanism of the cyclization are discussed. Only II (R = H, R<sub>2</sub> = Me, Ph) could be aromatized.

IT 54679-41-3P 54679-42-4P 54679-43-5P

54679-44-6P 54679-45-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and cyclization of)

L4 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1970:455891 HCAPLUS

DOCUMENT NUMBER: 73:55891

TITLE: Synthesis of some glycidic hydrazides and amides as potential psychotropic agents and anticholinergic agents

AUTHOR(S): Sáenz, Reynaldo V.; Brown, Robert Graves; Isaacson, Eugene I.; Delgado, Jaime N.

CORPORATE SOURCE: Coll. of Pharm., Univ. of Texas, Austin, TX, USA

SOURCE: Journal of Pharmaceutical Sciences (1970), 59(7), 942-7

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB A series of glycidic hydrazides, e.g. I, and amides was prepared by hydrazinolysis or aminolysis of glycidic esters obtained via a modified Darzens condensation. The hydrazides were subjected to acylating or alkylating reagents to obtain N-substituted hydrazides. The results of preliminary pharmacol. evaluation are summarized. The compds. were tested for their ability to reverse reserpine hypothermia in mice. Compds. synthesized as potential anticholinergics were evaluated for their spasmolytic activity using isolated rabbit ileum.

IT 27244-07-1P 27244-19-5P 28922-85-2P

28922-87-4P 28922-88-5P 28922-91-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

L4 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1967:490589 HCAPLUS

DOCUMENT NUMBER: 67:90589

TITLE: 2,3-Epoxysuccinic anhydride

AUTHOR(S): Creighton, Stephen M.; Mitchell, David Lawrence

CORPORATE SOURCE: Res. Council Alberta, Edmonton, Can.

SOURCE: Canadian Journal of Chemistry (1967), 45(11), 1304-6

CODEN: CJCHAG; ISSN: 0008-4042

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Highly strained 2,3-epoxysuccinic anhydride (I) is prepared by dehydrating cis-2,3-epoxysuccinic acid (II) using ethereal dicyclohexylcarbodiimide (III). I could not be prepared by pyrolysis of II, treatment with Ac<sub>2</sub>O, or treatment with PhNCO. The anhydride functional group undergoes ring opening with aromatic amines and aromatic hydrazines to give 1:1 amide-hydrazide.

IT 16191-23-4P 16191-24-5P 16191-25-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

L4 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1966:15753 HCAPLUS  
 DOCUMENT NUMBER: 64:15753  
 ORIGINAL REFERENCE NO.: 64:2869g-h  
 TITLE: Infrared spectra of carboxylic acid derivatives. IV. Amides and hydrazides  
 AUTHOR(S): Jart, A.  
 SOURCE: Acta Polytech. Scand., Chem. Met. Ser. (1965), No. 42, 55 pp.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB cf. CA 63, 14654f. The ir spectra of 91 carboxylic acid amides, 6 thioamides, and 11 sulfonamides, as well as 30 carboxylic acid monohydrazides, and 3 sym. dihydrazides are given. The spectra were recorded by means of a Perkin-Elmer grating spectrophotometer, model 421, within the range 550-4000 cm.<sup>-1</sup> by using the KBr disk technique. Some of the amides and hydrazides prepared have not been described previously in the literature. M.ps. are given for all the compds. considered.  
 IT 5814-13-1, Glycidic acid, 3-phenyl-, hydrazide (spectrum of)

L4 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1963:462304 HCAPLUS  
 DOCUMENT NUMBER: 59:62304  
 ORIGINAL REFERENCE NO.: 59:11484d-g  
 TITLE: Derivatives of 1,2,3-trimethoxybenzene. II. Amides and hydrazides of trimethylgallic acid  
 AUTHOR(S): Schlager, L. H.  
 CORPORATE SOURCE: Arzneimittelfabrik W. Spitzner G.m.b.H., Ettlingen/Baden, Germany  
 SOURCE: Arch. Pharm. (1963), 296, 217-26  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB cf. Arzneimittel-Forsch. 13(3), 226-34(1963). Derivs. of 3,4,5-(MeO)3C6H2CONRNR1R2 (I), containing groups known to be pharmacol. active, have been prepared by reactions of 3,4,5-(MeO)3C6H2COCl (II) or 3,4,5-(MeO)3C6H2-CONHNH2 (III).  $\beta$ -Morpholinopropionylhydrazine (8 g.) [m. 66-9°, quant. yield by dropping 300 g. Me  $\beta$ -morpholinopropionate into 95 g. NH2NH2.H2O in 200 ml. EtOH, stirring 1 hr. at 60°, evaporating, and crystallizing; salicylidenehydrazone m. 149-51°] in 50 ml. absolute dioxane dropped into 40 ml. absolute dioxane containing 10.5 g. II and the mixture stirred 2 hrs. at 50° yielded 62.5% 1-(3,4,5-trimethoxybenzoyl)-2-( $\beta$ -morpholinopropionyl)hydrazine-HCl, m. 234.5-36° (decomposition) (EtOH-Et2O).  $\beta$ -Methyl- $\beta$ -phenylglycidic acid hydrazide (8 g.) (m. 126-7°, 11.8% yield by stirring 91 g. the acid with 30 g. NH2NH2.H2O at room temperature) in 20 ml. absolute C5H5N dropped into a boiling solution of 9.4 g. II in 50 ml. absolute Et2O, the solution decanted from the oil, the oil in CHCl3 shaken with H2O, dried, treated with C and precipitated with CCl4 yielded 14.6% IIIa (R = H, R1 =  $\beta$ -methyl- $\beta$ -phenylglycid-amido), m. 143.5-4.5° (CHCl3-Et2O). The following IIIa were similarly prepared (R, R1, m.p., % yield given): H, 2-methyl-4-oxo-3,4-dihydroquinazolin-3-yl, 220-2°, 75.6 (HCl salt m. 221-3°; H, IIIb, 224-5° (decomposition), 67.4; H, Me3CCONH (IV), 192-3°, 80.6 (a modification of IV, m. 218-18.5°, resulted by use of absolute dioxane as solvent and C5H5N in place of Et3N); H, NHCO2Et, 144-5°, 63.5; H, NHCONEt2, 183-4°, 67; H, (CH2)3OMe, 90.5-1.5°, 38.2; H, NHCSNH(CH2)2OMe, 169-70°, 87.3; H, 1-phenyl-2,3-dimethyl-5-oxopyrazolin-4-yl, 213-14° 71; (NRR1 =) 1-indazolyl, 105-5.5°, 81.4; (NRR1 =) 4,5,6,7-tetrahydroindazol-1-yl, 119.5-21.5°, 59.8; (NRR1 =) ethylenimino, 60-1°, 92.8; (NRR1 =) 4-methylpiperazino,--(HCl salt m. 206.5-7.0°), 94.7; (NRR1 =) 2-phenyl-3-methylmorpholino, 111.5-12.5°, 70.3.

IT 90918-14-2, Hydrocinnamic acid,  $\alpha,\beta$ -epoxy- $\beta$ -methyl-, hydrazide 93331-74-9, Hydrocinnamic acid,  $\alpha,\beta$ -epoxy- $\beta$ -methyl-, salicylidenehydrazide 94680-73-6, Hydrazine, 1-( $\alpha,\beta$ -epoxy- $\beta$ -methylhydrocinnamoyl)-2-(3,4,5-trimethoxybenzoyl)-(preparation of)

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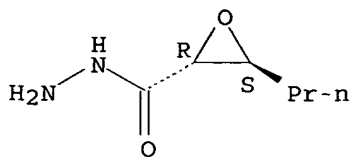
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L3 ANSWER 1 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 790662-80-5 REGISTRY  
CN Oxiranecarboxylic acid, 3-propyl-, hydrazide, (2R,3S)- (9CI) (CA INDEX  
NAME)  
FS STEREOSEARCH  
MF C6 H12 N2 O2  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT  
DT.CA CAPLUS document type: Journal  
RL.NP Roles from non-patents: PREP (Preparation)

Absolute stereochemistry.



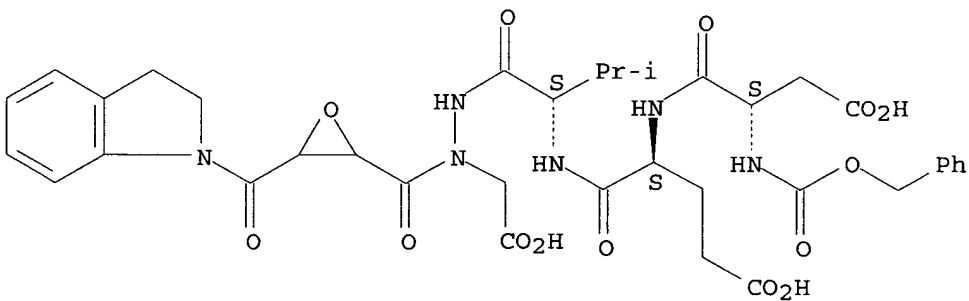
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 129:260379

L3 ANSWER 10 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 646532-63-0 REGISTRY  
CN L-Valine, N-[(phenylmethoxy)carbonyl]-L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-, 3-[2-(carboxymethyl)-2-[[3-[(2,3-dihydro-1H-indol-1-yl)carbonyl]oxiranyl]carbonyl]hydrazide] (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 163: PN: WO2004005270 PAGE: 28-29 claimed sequence  
FS STEREOSEARCH  
MF C36 H42 N6 O14  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



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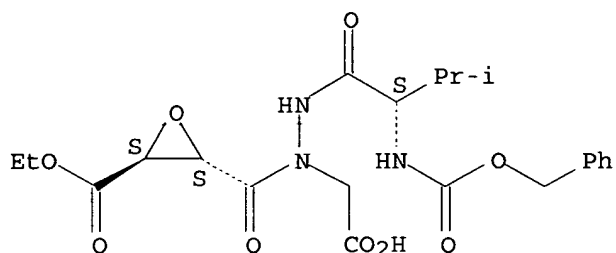
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:111688

L3 ANSWER 20 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 646532-53-8 REGISTRY  
CN 2,3-Oxiranedicarboxylic acid, monoethyl ester, 1-(carboxymethyl)-2-[(2S)-3-methyl-1-oxo-2-[[[(phenylmethoxy)carbonyl]amino]butyl]hydrazide, (2S,3S)-(9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 153: PN: WO2004005270 PAGE: 28-29 claimed sequence

FS STEREOSEARCH  
 MF C21 H27 N3 O9  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA Caplus document type: Journal; Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)  
 RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:304069

REFERENCE 2: 140:111688

L3 ANSWER 30 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 646532-43-6 REGISTRY  
 CN L-Alanine, N-(1-oxo-3-phenylpropyl)-L-valyl-, 2-(carboxymethyl)-2-  
 [[(2S,3S)-3-[[methyl(phenylmethyl)amino]carbonyl]oxiranyl]carbonyl]hydrazide (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 144: PN: WO2004005270 PAGE: 28-29 claimed sequence

FS STEREOSEARCH

MF C31 H39 N5 O8

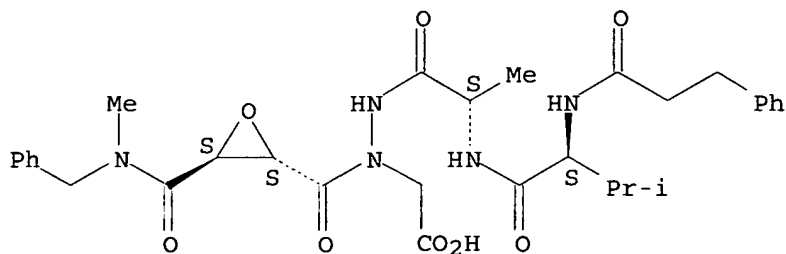
SR CA

LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

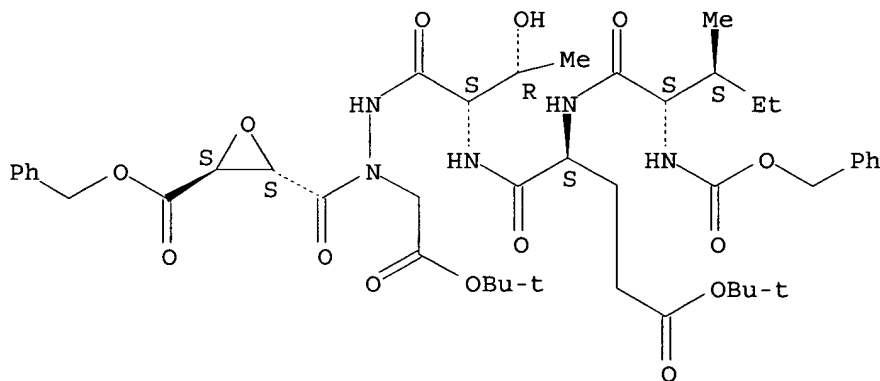
REFERENCE 1: 140:111688

L3 ANSWER 40 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 646532-33-4 REGISTRY  
CN L-Threonine, N-[(phenylmethoxy)carbonyl]-L-isoleucyl-L- $\alpha$ -glutamyl-,  
2-(1,1-dimethylethyl) ester, 3-[2-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2-  
[[2S,3S)-3-[(phenylmethoxy)carbonyl]oxiranyl]carbonyl]hydrazide] (9CI)  
(CA INDEX NAME)

## OTHER NAMES:

CN 132: PN: WO2004005270 PAGE: 28-29 claimed sequence  
FS STEREOSEARCH  
MF C44 H61 N5 O14  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Journal; Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:304069

REFERENCE 2: 140:111688

L3 ANSWER 50 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 646532-23-2 REGISTRY  
CN L-Valine, N-[(phenylmethoxy)carbonyl]-L- $\alpha$ -glutamyl-,  
1-(1,1-dimethylethyl) ester, 2-[2-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2-  
[[2S,3S)-3-[[2-phenylethyl]amino]carbonyl]oxiranyl]carbonyl]hydrazide]  
(9CI) (CA INDEX NAME)

## OTHER NAMES:

CN 122: PN: WO2004005270 PAGE: 28-29 claimed sequence

Chemical structure of compound 10, showing a complex molecule with a central amide linkage, a thioether bridge, and various ester and ether groups. The structure includes a phenyl group (Ph), a thioether bridge (S), and a central amide linkage (NH-C=O). The molecule is labeled with "Pr-i" and "OBu-t" groups, indicating its stereochemistry and protecting groups.

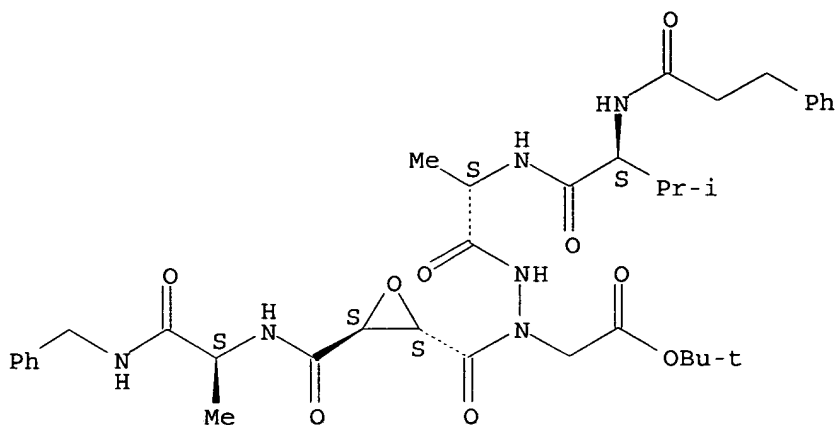
2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 2: 140:111688

OTHER NAMES:

CN 112: PN: WO2004005270 PAGE: 28-29 claimed sequence  
FS STEREOSEARCH  
MF C37 H50 N6 O9  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Journal; Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)  
RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Page 27



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:304069

REFERENCE 2: 140:111688

L3 ANSWER 70 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN

RN 646532-02-7 REGISTRY

CN L-Alanine, N-(1-oxo-3-phenylpropyl)-L-valyl-, 2-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2-[[[(2S,3S)-3-[(phenylmethoxy)carbonyl]oxiranyl]carbonyl]hydrazide (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 102: PN: WO2004005270 PAGE: 28-29 claimed sequence

FS STEREOSEARCH

MF C34 H44 N4 O9

SR CA

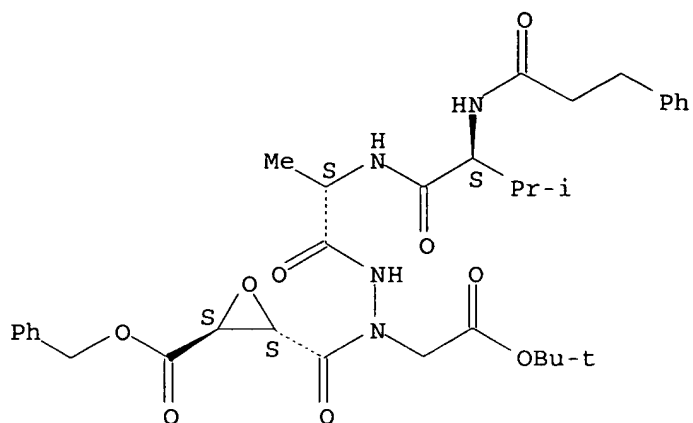
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:304069

REFERENCE 2: 140:111688

L3 ANSWER 80 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN

RN 646531-92-2 REGISTRY

CN L-Threonine, N-[(phenylmethoxy)carbonyl]-L-leucyl-L- $\alpha$ -glutamyl-,  
2-(1,1-dimethylethyl) ester, 3-[2-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2-  
[[2S,3S)-3-(ethoxycarbonyl)oxiranyl]carbonyl]hydrazide] (9CI) (CA INDEX  
NAME)

OTHER NAMES:

CN 92: PN: WO2004005270 PAGE: 28-29 claimed sequence

FS STEREOSEARCH

MF C39 H59 N5 O14

SR CA

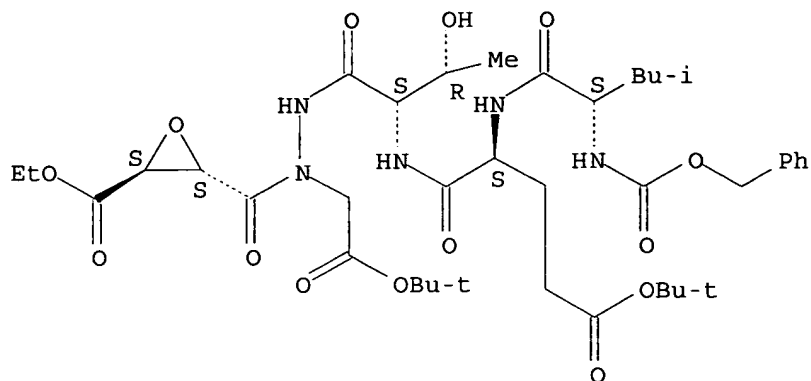
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)

RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:304069

REFERENCE 2: 140:111688

L3 ANSWER 90 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 646531-82-0 REGISTRY  
CN L-Valine, N-[(phenylmethoxy)carbonyl]-L- $\alpha$ -aspartyl-L- $\alpha$ -glutamyl-, 3-[2-(carboxymethyl)-2-[[[(2S,3S)-3-[[[(2-phenylethyl)amino]carbonyl]oxiranyl]carbonyl]hydrazide] (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 82: PN: WO2004005270 PAGE: 28-29 claimed sequence

FS STEREOSEARCH

MF C36 H44 N6 O14

SR CA

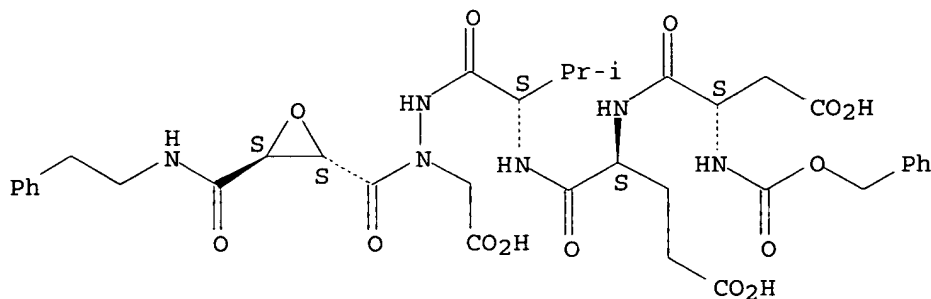
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:304069

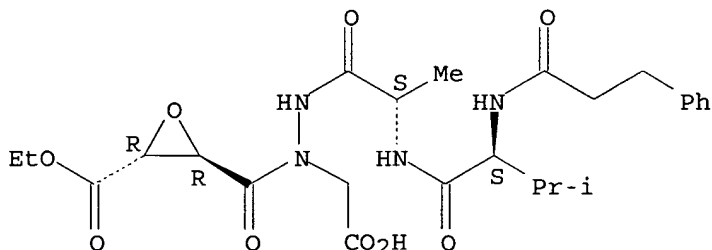
REFERENCE 2: 140:111688

L3 ANSWER 110 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 646531-62-6 REGISTRY  
CN L-Alanine, N-(1-oxo-3-phenylpropyl)-L-valyl-, 2-(carboxymethyl)-2-  
[[ (2R,3R)-3-(ethoxycarbonyl)oxiranyl]carbonyl]hydrazide (9CI) (CA INDEX  
NAME)

OTHER NAMES:

CN 62: PN: WO2004005270 PAGE: 28-29 claimed sequence  
FS STEREOSEARCH  
MF C25 H34 N4 O9  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Journal; Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:304069

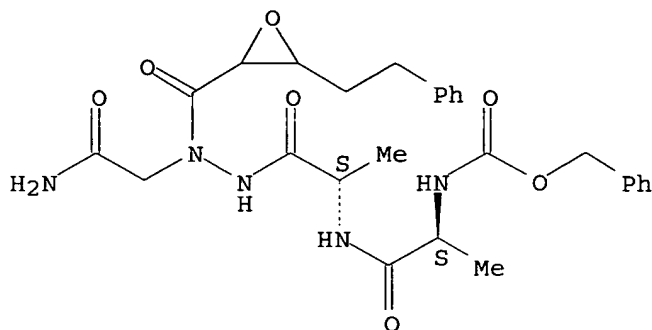
REFERENCE 2: 140:111688

L3 ANSWER 120 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 646531-52-4 REGISTRY  
CN L-Alanine, N-[(phenylmethoxy)carbonyl]-L-alanyl-, 2-(2-amino-2-oxoethyl)-2-  
(2,3-anhydro-4,5-dideoxy-5-phenylpentonoyl)hydrazide (9CI) (CA INDEX  
NAME)

OTHER NAMES:

CN 52: PN: WO2004005270 PAGE: 28-29 claimed sequence  
FS STEREOSEARCH  
MF C27 H33 N5 O7  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Journal; Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
RL.NP Roles from non-patents: BIOL (Biological study)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:316968

REFERENCE 2: 140:111688

L3 ANSWER 130 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN

RN 646531-42-2 REGISTRY

CN threo-Pentonic acid, 2,3-anhydro-4,5-dideoxy-5-phenyl-,  
2-[(phenylmethoxy)carbonyl]-1-(phenylmethyl)hydrazide (9CI) (CA INDEX  
NAME)

OTHER NAMES:

CN 42: PN: WO2004005270 PAGE: 28-29 claimed sequence

FS STEREOSEARCH

MF C26 H26 N2 O4

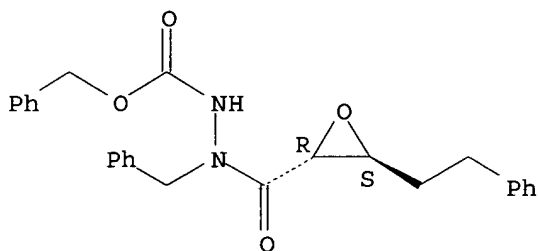
SR CA

LC STN Files: CA, CAPLUS, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)

Relative stereochemistry.



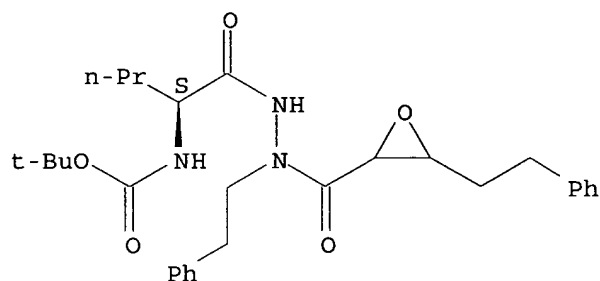
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:111688

L3 ANSWER 140 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 646531-32-0 REGISTRY  
 CN L-Norvaline, N-[(1,1-dimethylethoxy)carbonyl]-, 2-(2,3-anhydro-4,5-dideoxy-5-phenylpentonoyl)-2-(2-phenylethyl)hydrazide (9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN 35: PN: WO2004005270 PAGE: 28-29 claimed sequence  
 FS STEREOSEARCH  
 MF C29 H39 N3 O5  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



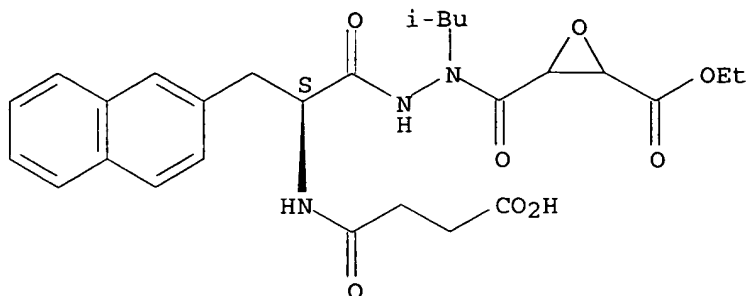
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:111688

L3 ANSWER 150 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 646531-22-8 REGISTRY  
 CN 2,3-Oxiranedicarboxylic acid, monoethyl ester, 2-[(2S)-2-[(3-carboxy-1-oxopropyl)amino]-3-(2-naphthalenyl)-1-oxopropyl]-1-(2-methylpropyl)hydrazide (9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN 25: PN: WO2004005270 PAGE: 28-29 claimed sequence  
 FS STEREOSEARCH  
 MF C27 H33 N3 O8  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:111688

L3 ANSWER 160 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN

RN 646531-12-6 REGISTRY

CN 2,3-Oxiranedicarboxylic acid, monoethyl ester, 2-[(2S)-4-methyl-1-oxo-2-[[ (phenylmethoxy) carbonyl] amino]pentyl]-1-(2-methylpropyl)hydrazide, (2R,3R)- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 16: PN: WO2004005270 PAGE: 28-29 claimed sequence

FS STEREOSEARCH

MF C24 H35 N3 O7

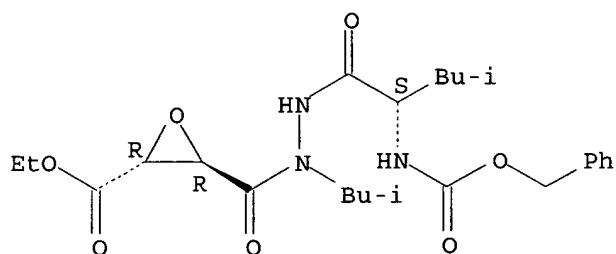
SR CA

LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

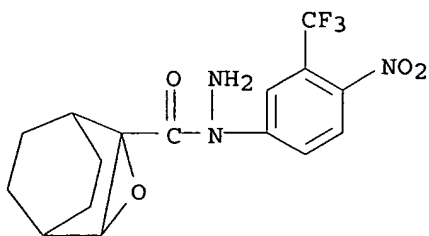
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:111688

L3 ANSWER 170 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN

RN 554412-98-5 REGISTRY

CN 3-Oxatricyclo[3.2.2.0<sup>2,4</sup>]nonane-2-carboxylic acid, 1-[4-nitro-3-(trifluoromethyl)phenyl]hydrazide (9CI) (CA INDEX NAME)



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 180 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 477923-51-6 REGISTRY  
CN L-Threonine, N-[(phenylmethoxy)carbonyl]-L-leucyl-L- $\alpha$ -glutamyl-,  
3-[2-(carboxymethyl)-2-[[[(2R,3R)-3-(ethoxycarbonyl)oxiranyl]carbonyl]hydra  
zide] (9CI) (CA INDEX NAME)

CN 141: PN: WO2004005270 PAGE: 28-29 claimed sequence  
FS STEREOSEARCH  
MF C31 H43 N5 O14  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Journal; Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)  
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

[illegible]

Page 35

## 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:304069

REFERENCE 2: 140:111688

REFERENCE 3: 138:19120

L3 ANSWER 190 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN

RN 177612-07-6 REGISTRY

CN Oxiranecarboxylic acid, 3-(4-pyridinyl)-, 2-(4-methyl-2-quinolinyl)hydrazide, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C18 H16 N4 O2

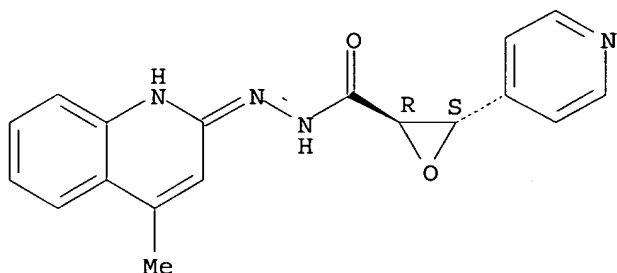
SR CA

LC STN Files: CA, CAPLUS

DT.CA Caplus document type: Journal

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Relative stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 125:33462

L3 ANSWER 200 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN

RN 174787-19-0 REGISTRY

CN Oxiranecarboxylic acid, 3-methyl-, (phenylmethylene)hydrazide, (2R-cis)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C11 H12 N2 O2

SR CA

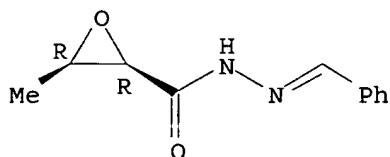
LC STN Files: CA, CAPLUS

DT.CA Caplus document type: Journal

RL.NP Roles from non-patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

Double bond geometry unknown.

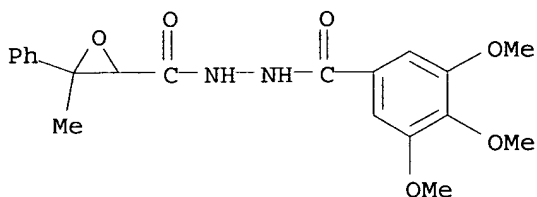


## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 124:232084

L3 ANSWER 210 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 94680-73-6 REGISTRY  
 CN Hydrazine, 1-( $\alpha,\beta$ -epoxy- $\beta$ -methylhydrocinnamoyl)-2-(3,4,5-trimethoxybenzoyl)- (7CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C20 H22 N2 O6  
 LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS  
 (\*File contains numerically searchable property data)  
 DT.CA CAplus document type: Journal  
 RL.NP Roles from non-patents: NORL (No role in record)

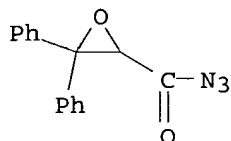


## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 59:62304

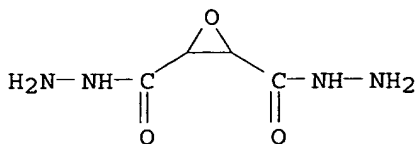
L3 ANSWER 220 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 89849-01-4 REGISTRY  
 CN Oxiranecarbonyl azide, 3,3-diphenyl- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C15 H11 N3 O2  
 LC STN Files: BEILSTEIN\*, CA, CAPLUS  
 (\*File contains numerically searchable property data)  
 DT.CA CAplus document type: Journal  
 RL.NP Roles from non-patents: PREP (Preparation)



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 101:6947

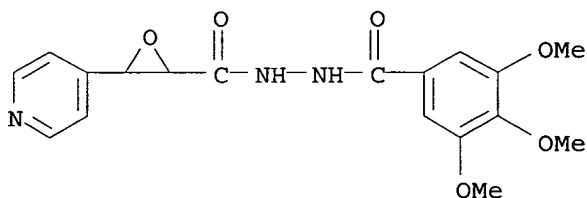
L3 ANSWER 230 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 89303-92-4 REGISTRY  
 CN Succinic acid, epoxy-, dihydrazide (7CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C4 H8 N4 O3  
 LC STN Files: CAOLD



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 240 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 27244-19-5 REGISTRY  
 CN Oxiranecarboxylic acid, 3-(4-pyridinyl)-, 2-(3,4,5-trimethoxybenzoyl)hydrazide (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Hydrazine, 1-[3-(4-pyridyl)glycidoyl]-2-(3,4,5-trimethoxybenzoyl)- (8CI)  
 FS 3D CONCORD  
 MF C18 H19 N3 O6  
 LC STN Files: BEILSTEIN\*, CA, CAPLUS  
 (\*File contains numerically searchable property data)  
 DT.CA Caplus document type: Journal  
 RL.NP Roles from non-patents: PREP (Preparation)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

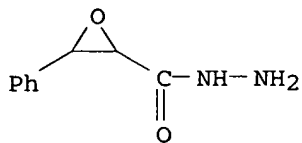
1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 73:55891

L3 ANSWER 245 OF 245 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 5814-13-1 REGISTRY  
 CN Oxiranecarboxylic acid, 3-phenyl-, hydrazide (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Glycidic acid, 3-phenyl-, hydrazide (7CI, 8CI)  
 FS 3D CONCORD  
 MF C9 H10 N2 O2  
 LC STN Files: BEILSTEIN\*, CA, CAOLD, CAPLUS, CASREACT  
 (\*File contains numerically searchable property data)  
 DT.CA Caplus document type: Journal  
 RL.NP Roles from non-patents: RACT (Reactant or reagent); NORL (No role in



record)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 114:206680

REFERENCE 2: 64:15753

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